

Notice of Allowability

Application No.

10/685,658

Examiner

Zachary C. Tucker

Applicant(s)

NICOLAOU ET AL.

Art Unit

1624

-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address--

All claims being allowable, PROSECUTION ON THE MERITS IS (OR REMAINS) CLOSED in this application. If not included herewith (or previously mailed), a Notice of Allowance (PTOL-85) or other appropriate communication will be mailed in due course. **THIS NOTICE OF ALLOWABILITY IS NOT A GRANT OF PATENT RIGHTS.** This application is subject to withdrawal from issue at the initiative of the Office or upon petition by the applicant. See 37 CFR 1.313 and MPEP 1308.

1. ☐ This communication is responsive to ____.
2. ☒ The allowed claim(s) is/are 1-7.
3. ☒ The drawings filed on 14 October 2003 are accepted by the Examiner.
4. ☐ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).
 - a) ☐ All b) ☐ Some* c) ☐ None of the:
 1. ☐ Certified copies of the priority documents have been received.
 2. ☐ Certified copies of the priority documents have been received in Application No. ____.
 3. ☐ Copies of the certified copies of the priority documents have been received in this national stage application from the International Bureau (PCT Rule 17.2(a)).

* Certified copies not received: ____.

Applicant has THREE MONTHS FROM THE "MAILING DATE" of this communication to file a reply complying with the requirements noted below. Failure to timely comply will result in ABANDONMENT of this application.

THIS THREE-MONTH PERIOD IS NOT EXTENDABLE.

5. ☐ A SUBSTITUTE OATH OR DECLARATION must be submitted. Note the attached EXAMINER'S AMENDMENT or NOTICE OF INFORMAL PATENT APPLICATION (PTO-152) which gives reason(s) why the oath or declaration is deficient.
 6. ☐ CORRECTED DRAWINGS (as "replacement sheets") must be submitted.
 - (a) ☐ including changes required by the Notice of Draftsperson's Patent Drawing Review (PTO-948) attached
 - 1) ☐ hereto or 2) ☐ to Paper No./Mail Date ____.
 - (b) ☐ including changes required by the attached Examiner's Amendment / Comment or in the Office action of Paper No./Mail Date ____.
- Identifying indicia such as the application number (see 37 CFR 1.84(c)) should be written on the drawings in the front (not the back) of each sheet. Replacement sheet(s) should be labeled as such in the header according to 37 CFR 1.121(d).
7. ☐ DEPOSIT OF and/or INFORMATION about the deposit of BIOLOGICAL MATERIAL must be submitted. Note the attached Examiner's comment regarding REQUIREMENT FOR THE DEPOSIT OF BIOLOGICAL MATERIAL.

Attachment(s)

1. ☒ Notice of References Cited (PTO-892)
2. ☐ Notice of Draftsperson's Patent Drawing Review (PTO-948)
3. ☒ Information Disclosure Statements (PTO-1449 or PTO/SB/08),
Paper No./Mail Date 27Jun05
4. ☐ Examiner's Comment Regarding Requirement for Deposit
of Biological Material

5. ☐ Notice of Informal Patent Application (PTO-152)

6. ☐ Interview Summary (PTO-413),
Paper No./Mail Date ____.

7. ☒ Examiner's Amendment/Comment

8. ☒ Examiner's Statement of Reasons for Allowance

9. ☐ Other ____.

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EXAMINER'S AMENDMENT

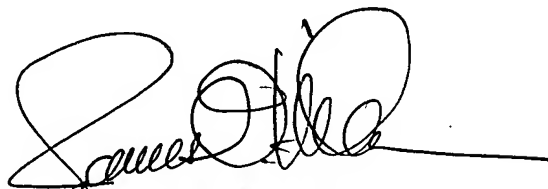
An examiner's amendment to the record appears below. Should the changes and/or additions be unacceptable to applicant, an amendment may be filed as provided by 37 CFR 1.312. To ensure consideration of such an amendment, it MUST be submitted no later than the payment of the issue fee.

Authorization for this examiner's amendment was given in a telephone interview with Donald Lewis on 3 August 2005.

IN THE CLAIMS –

In claim 1, line 14 of page 14 in the claims, the phrase "under reaction conditions" has been struck.

In claim 6, line 21 of page 16 in the claims, the phrase "for under reaction , conditions" has been struck.



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end of amendments

Allowable Subject Matter

Claims 1-7 are allowed.

The following is an examiner's statement of reasons for allowance:

No disclosure of, nor any suggestion to carry out the syntheses according to the instant claims was found in a search of the prior art.

As indicative of the state of the art shortly prior to the time the invention was made, the examiner cites the following the references and summarizes their teachings:

Nicolau et al, "A Novel Regio- and Stereoselective Synthesis of Sulfamidates from 1,2-diols Using Burgess and Related Reagents: A Facile Entry into β -amino Alcohols." *Angewandte Chemie, International Edition*, vol. 41(5), pages 834-838 (March 1, 2002).

Two of the co-inventors named in the instant application (Nicolau and Snyder) were authors of this article, which describes the synthesis of β -amino alcohols from 1,2-diols and Burgess reagent. Interestingly, the compounds ultimately produced by the synthesis disclosed in the reference, after hydrolysis of the cyclic sulfamidate formed from the 1,2-diol and Burgess reagent, could be used as starting materials employed in the process according to instant claims 1-5 where "X" comprises a single methylene unit.

A short monograph on the reactions of Burgess reagent, written by Taibe and Mobashery, appears in the *Encyclopedia of Reagents for Organic Synthesis*, vol. 5, pages 3345-3347, John Wiley & Sons (1995). This monograph covers dehydrations, including where the dehydration forms a carbocyclic ring, formation of nitriles from amides and electrophilic addition to dienes, but does not hint at any reaction where the

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Burgess reagent itself becomes part of a heterocyclic ring system, as is the case in the instantly claimed process.

Lamberth, C. "Burgess Reagent ([Methoxycarbonylsulfamoyl]triethylammonium Hydroxide Inner Salt): Dehydrations and More" *Journal für Praktische Chemie*, vol. 342(5), pages 518-522 (2000).

Is another review summarizing what Burgess reagent is typically used for. The review teaches dehydration reactions of course, formation of nitriles from amides and formation of oxazolines from acyclic hydroxy-amides (Wipf reactions). Although the Burgess reactions discovered by Wipf et al are cyclizations, the Burgess reagent itself does not become part of the heterocycles formed in the reaction.

Winum et al, "N-(tert-Butoxycarbonyl)-N-[4-(dimethylazaniumylidene-1,4-dihydropyridin-1-ylsulfonyl)]azanide: A New Sulfamoylating Agent. Structure and Reactivity toward Amines" *Organic Letters*, vol. 3(14), pages 2241-2243 (2001).

Is pertinent for its disclosure of a new reagent, named in the title of the reference, which participates in reactions with various amines, similar to the process of instant claim 6, to produce acyclic sulfamides. Winum et al point out the similarity between their reagent and Burgess reagent at page 2242, last paragraph, but do not make any suggestion that Burgess reagent would similarly be effective in producing sulfamides from acyclic amines.

Probably the most comprehensive review on Burgess reagent which is recently published comes from –

Khapli et al, "Burgess Reagent in Organic Synthesis" *Journal of the Indian Institute of Science*, vol. 81(4), pages 461-476 (July-August 2001).

This reference discusses the reactions covered in the other two reviews and

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monograph cited hereinabove, but also discusses polyethylene glycol-linked Burgess reagent as a means to increase reactivity (page 462).

None of these references include any suggestions which would render the instantly claimed process obvious.

The original work by Burgess et al, in J. Org. Chem., vol. 38(1), pages 26-31 (1973), does not hint at the reactions according to the instant claims either.

Any comments considered necessary by applicant must be submitted no later than the payment of the issue fee and, to avoid processing delays, should preferably accompany the issue fee. Such submissions should be clearly labeled "Comments on Statement of Reasons for Allowance."

Conclusion

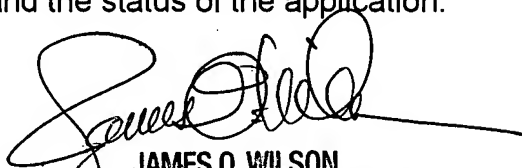
All Post-Allowance Correspondence concerning this application must be mailed to:

Mail Stop Issue Fee
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Or you can fax them to the Office of Patent Publications at 703-872-9306, in order to expedite the handling of such correspondence as amendments under 37 CFR 1.312; information disclosure statements, and formal drawings. Sending Post-Allowance papers to Technology Center 1600 will only cause delays in matching papers with the case.

For information concerning status of correspondence sent after receipt of the Notice of Allowance, please contact the Correspondence Branch at (703) 305-8027. The Notice of Allowance also has an insert containing contact information on other items, including Issue Fees, receipt of formal drawings and the status of the application.

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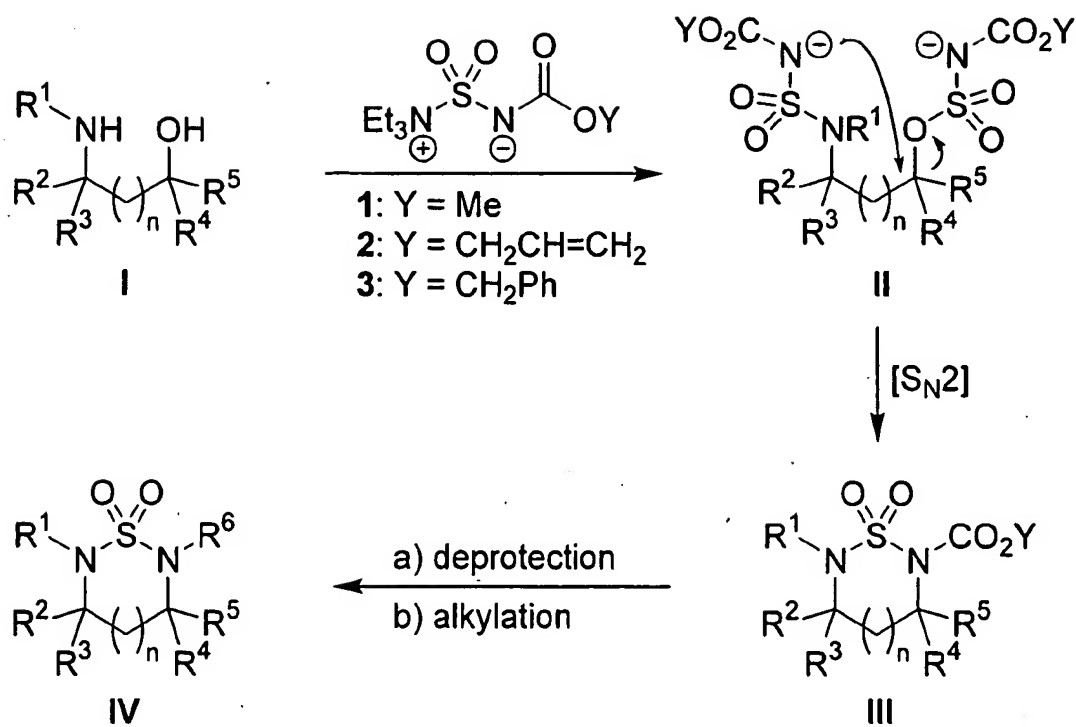
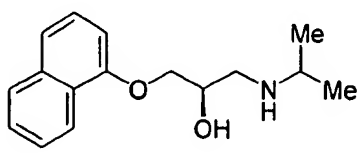
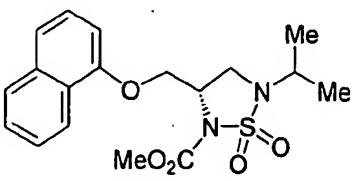
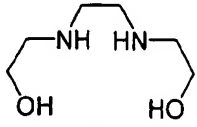
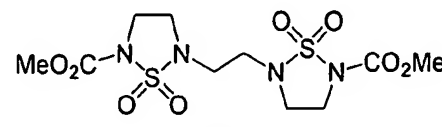
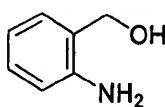
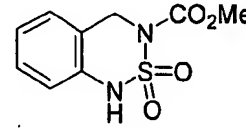
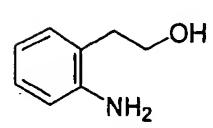
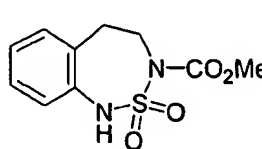


Figure 1

$ \begin{array}{c} \text{R}^1\text{NH} \\ \\ \text{R}^2\text{CH}_2\text{OH} \end{array} \xrightarrow[\text{THF, } \Delta, 8 \text{ h}]{\text{Burgess reagent (1)}} \begin{array}{c} \text{O}=\text{O} \\ \quad \\ \text{R}^1\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Me} \\ \\ \text{R}^2 \end{array} $			
Entry	Starting Material	Product	Yield [%]
1	$ \begin{array}{c} \text{Me} \\ \\ \text{NH} \\ \\ \text{CH}_2\text{OH} \end{array} $ <p>4</p>	$ \begin{array}{c} \text{O}=\text{O} \\ \quad \\ \text{Me}-\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Me} \\ \\ \text{CH}_2 \end{array} $ <p>5</p>	75
2	$ \begin{array}{c} \text{C}_6\text{H}_5 \\ \\ \text{NH} \\ \\ \text{CH}_2\text{CH}_2\text{OH} \end{array} $ <p>6</p>	$ \begin{array}{c} \text{O}=\text{O} \\ \quad \\ \text{C}_6\text{H}_5-\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Me} \\ \\ \text{CH}_2 \end{array} $ <p>7</p>	85
3	$ \begin{array}{c} \text{Me} \quad \text{Me} \\ \diagdown \quad \diagup \\ \text{C} \\ \\ \text{NH} \\ \\ \text{CH}_2\text{CH}_2\text{OH} \end{array} $ <p>8</p>	$ \begin{array}{c} \text{O}=\text{O} \\ \quad \\ \text{Me} \quad \text{Me} \\ \diagdown \quad \diagup \\ \text{C}-\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Me} \\ \\ \text{CH}_2 \end{array} $ <p>9</p>	81
4	$ \begin{array}{c} \text{C}_6\text{H}_{11} \\ \\ \text{NH} \\ \\ \text{CH}_2\text{OH} \end{array} $ <p>10</p>	$ \begin{array}{c} \text{O}=\text{O} \\ \quad \\ \text{C}_6\text{H}_{11}-\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Me} \\ \\ \text{CH}_2 \end{array} $ <p>11</p>	77
5	$ \begin{array}{c} \text{C}_6\text{H}_5 \\ \\ \text{NH} \\ \\ \text{CH}_2\text{CH}_2\text{OH} \end{array} $ <p>12</p>	$ \begin{array}{c} \text{O}=\text{O} \\ \quad \\ \text{C}_6\text{H}_5-\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Me} \\ \\ \text{CH}_2 \end{array} $ <p>13</p>	92
6	$ \begin{array}{c} \text{O}_2\text{N} \\ \\ \text{C}_6\text{H}_4 \\ \\ \text{NH} \\ \\ \text{CH}_2\text{CH}_2\text{OH} \end{array} $ <p>14</p>	$ \begin{array}{c} \text{O}=\text{O} \\ \quad \\ \text{O}_2\text{N}-\text{C}_6\text{H}_4-\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Me} \\ \\ \text{CH}_2 \end{array} $ <p>15</p>	75
7	$ \begin{array}{c} \text{CF}_3 \\ \\ \text{C}_6\text{H}_3 \\ \\ \text{NH} \\ \\ \text{CH}_2\text{CH}_2\text{OH} \end{array} $ <p>16</p>	$ \begin{array}{c} \text{O}=\text{O} \\ \quad \\ \text{CF}_3-\text{C}_6\text{H}_3-\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Me} \\ \\ \text{CH}_2 \end{array} $ <p>17</p>	82
8	$ \begin{array}{c} \text{OMe} \\ \\ \text{C}_6\text{H}_3 \\ \\ \text{NH} \\ \\ \text{CH}_2\text{CH}_2\text{OH} \end{array} $ <p>18</p>	$ \begin{array}{c} \text{O}=\text{O} \\ \quad \\ \text{OMe}-\text{C}_6\text{H}_3-\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Me} \\ \\ \text{CH}_2 \end{array} $ <p>19</p>	69

Figure 2

Entry	Starting Material	Product	Yield [%]
1			89 ^[a]
2			55 ^[b]
3			45 ^[c]
4			90 ^[d]

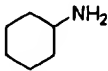
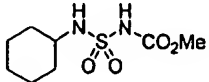
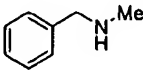
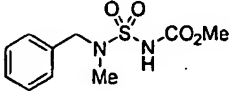
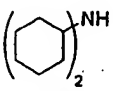
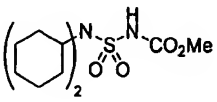

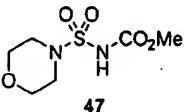

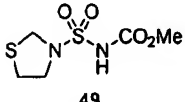
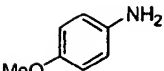
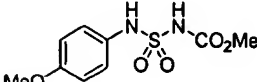
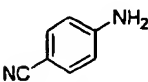
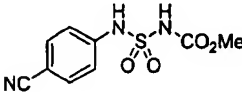
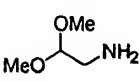
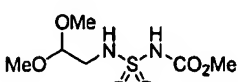
[a] THF, Δ , 21 h; [b] THF, Δ , 8 h; [c] 0 °C, 1 h, then 25 °C, 5 h; [d] THF, Δ , 2 h.

Figure 3

$ \begin{array}{c} \text{H}_2\text{N} \quad \text{OH} \\ \quad \\ \text{R}^1 - \text{C} - (\text{CH}_2)_n - \text{C} - \text{R}^4 \\ \quad \\ \text{R}^2 \quad \text{R}^3 \end{array} \xrightarrow[\text{THF, } \Delta, 8 \text{ h}]{\text{Burgess reagent (1)}} \begin{array}{c} \text{O}=\text{O} \\ \diagup \quad \diagdown \\ \text{HN} - \text{S} - \text{N} - \text{CO}_2\text{Me} \\ \quad \\ \text{R}^1 - \text{C} - (\text{CH}_2)_n - \text{C} - \text{R}^4 \\ \quad \\ \text{R}^2 \quad \text{R}^3 \end{array} $			
Entry	Starting Material	Product	Yield [%]
1	$ \begin{array}{c} \text{H}_2\text{N} - \text{CH}_2 - \text{CH}_2 - \text{OH} \\ \text{28} \end{array} $	$ \begin{array}{c} \text{O}=\text{O} \\ \diagup \quad \diagdown \\ \text{HN} - \text{S} - \text{N} - \text{CO}_2\text{Me} \\ \quad \\ \text{CH}_2 - \text{CH}_2 \end{array} \text{29} $	62
2	$ \begin{array}{c} \text{Me} \quad \text{Me} \\ \quad \\ \text{H}_2\text{N} - \text{C} - \text{CH}_2 - \text{OH} \\ \text{30} \end{array} $	$ \begin{array}{c} \text{O}=\text{O} \\ \diagup \quad \diagdown \\ \text{HN} - \text{S} - \text{N} - \text{CO}_2\text{Me} \\ \quad \\ \text{Me} - \text{C} - \text{CH}_2 - \text{Me} \\ \text{31} \end{array} $	39
3	$ \begin{array}{c} \text{H}_2\text{N} - \text{C}_6\text{H}_{10} - \text{OH} \\ \text{32} \end{array} $	$ \begin{array}{c} \text{O}=\text{O} \\ \diagup \quad \diagdown \\ \text{HN} - \text{S} - \text{N} - \text{CO}_2\text{Me} \\ \quad \\ \text{C}_6\text{H}_{10} \end{array} \text{33} $	34
4	$ \begin{array}{c} \text{H}_2\text{N} - (\text{CH}_2)_3 - \text{OH} \\ \text{34} \end{array} $	$ \begin{array}{c} \text{O}=\text{O} \\ \diagup \quad \diagdown \\ \text{HN} - \text{S} - \text{N} - \text{CO}_2\text{Me} \\ \quad \\ (\text{CH}_2)_3 \end{array} \text{35} $	42
5	$ \begin{array}{c} \text{H}_2\text{N} - \text{CH}(\text{Ph}) - \text{CH}_2 - \text{OH} \\ \text{36} \end{array} $	$ \begin{array}{c} \text{O}=\text{O} \\ \diagup \quad \diagdown \\ \text{HN} - \text{S} - \text{N} - \text{CO}_2\text{Me} \\ \quad \\ \text{CH}(\text{Ph}) - \text{CH}_2 \end{array} \text{37} $	90 ^[a]
6	$ \begin{array}{c} \text{H}_2\text{N} - \text{CH}(\text{Ph}) - \text{CH}(\text{Ph}) - \text{OH} \\ \text{38} \end{array} $	$ \begin{array}{c} \text{O}=\text{O} \\ \diagup \quad \diagdown \\ \text{HN} - \text{S} - \text{N} - \text{CO}_2\text{Me} \\ \quad \\ \text{CH}(\text{Ph}) - \text{CH}(\text{Ph}) \end{array} \text{39} $	76 ^[a]

[a] 0 °C, 1 h, then 25 °C, 5 h.

Figure 4

$ \begin{array}{c} R^2 \\ \\ R^1-N-H \\ \\ H \end{array} \xrightarrow[\text{THF, } \Delta, 2 \text{ h}]{\text{Burgess reagent (1)}} \begin{array}{c} R^2 \\ \\ R^1-N-S(=O)_2-N-H \\ \quad \\ H \quad CO_2Me \end{array} $			
Entry	Starting Material	Product	Yield [%]
1	 40	 41	83
2	 42	 43	91
3	 44	 45	82
4	 46	 47	87
5	 48	 49	73
6	 50	 51	97
7	 52	 53	66
8	 54	 55	98 ^[a]

[a] -10 to 25 °C, 24 h.

Figure 5

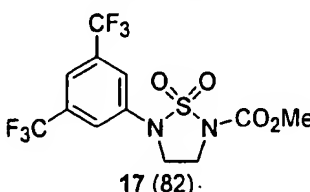
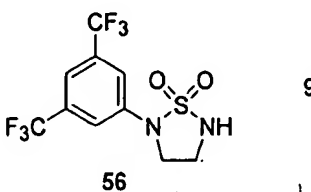
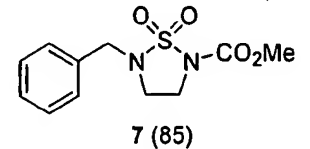
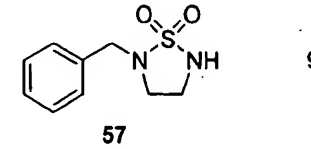
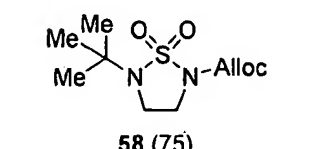
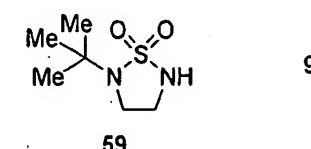
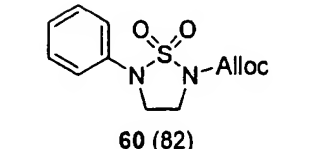
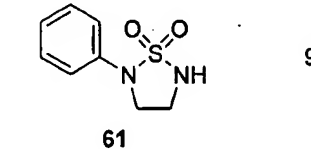
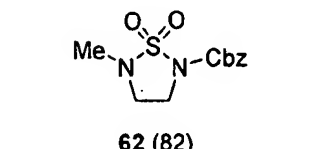
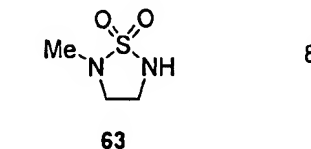
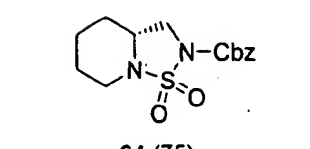
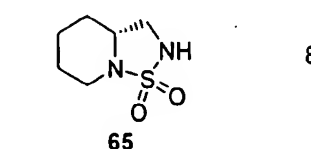
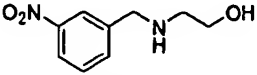
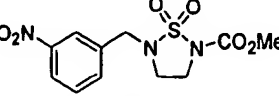
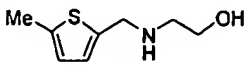
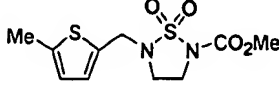
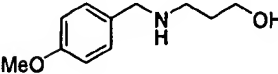
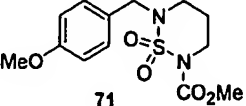
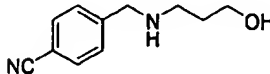
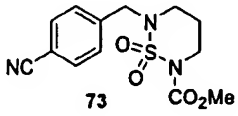
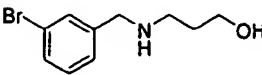
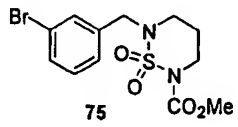
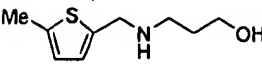
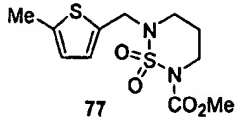
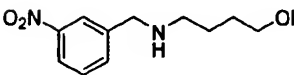
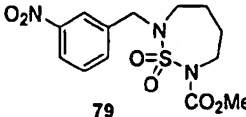
$ \begin{array}{ccc} \begin{array}{c} \text{O} \\ \parallel \\ \text{R}^1\text{N}-\text{S}-\text{N}-\text{CO}_2\text{Y} \\ \parallel \\ \text{R}^2 \quad \text{R}^3 \end{array} & \xrightarrow{\text{Conditions}} & \begin{array}{c} \text{O} \\ \parallel \\ \text{R}^1\text{N}-\text{S}-\text{NH} \\ \parallel \\ \text{R}^2 \quad \text{R}^3 \end{array} \end{array} $			
Entry	Starting Material (Yield [%]) ^[a]	Product	Yield [%]
1	 17 (82)	 56	99 ^[b]
2	 7 (85)	 57	99 ^[b]
3	 58 (75)	 59	97 ^[c]
4	 60 (82)	 61	98 ^[c]
5	 62 (82)	 63	84 ^[d]
6	 64 (75)	 65	87 ^[d]

Figure 6

Entry	Starting Material	Product	Yield [%]
1			89
2			92
3			93
4			87
5			83
6			89
7			81

Preparation of starting substrates:

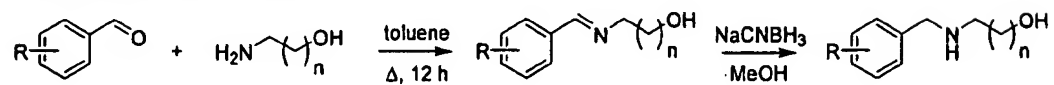


Figure 7